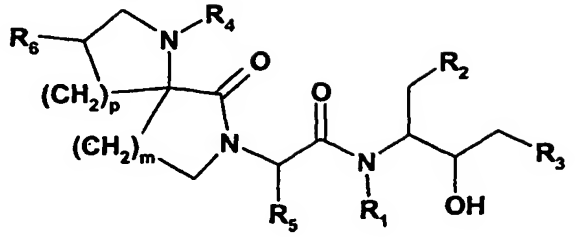
Claims

1. A compound of the formula



I

wherein

R_1 is hydrogen or (C_{1-4})alkyl,

R_2 is optionally substituted (C_{1-8})alkyl, (C_{3-7})cycloalkyl, (C_{3-7})cycloalkyl(C_{1-4})alkyl, aryl or heteroaryl,

R_3 is $-CH(R_e)C(=O)N(R_a)R_b$ or $-(CH_2)_kN(R_c)R_d$, wherein

k is 0, 1 or 2,

R_a, R_b, R_c and R_d, independently, are hydrogen or an optionally substituted (C_{1-8})alkyl, (C_{5-9})bicycloalkyl, (C_{3-7})cycloalkyl, (C_{3-7})cycloalkyl(C_{1-4})alkyl, aryl, aryl(C_{1-4})alkyl, heteroaryl, heteroaryl(C_{1-4})alkyl , 4-chromanyl, 1,2,3,4-tetrahydro-quinolin-4-yl, 1,2,3,4-tetrahydro-naphthalen-1-yl, thiochroman-4-yl-1,1-dioxide, 4-isochromanyl, 1,2,3,4-tetrahydro-isoquinolin-4-yl, thioisochroman-4-yl-1,1-dioxide, 1,1-dioxo-1,2,3,4-tetrahydro-1lambda*6*-benzo[e][1,2]thiazin-4-yl, 1,1-dioxo-3,4-dihydro-1H-1lambda*6*-benzo[c][1,2]oxathiin-4-yl, 2,2-dioxo-1,2,3,4-tetrahydro-2lambda*6*-benzo[c][1,2]thiazin-4-yl or 2,2-dioxo-3,4-dihydro-2H-2lambda*6*-benzo[e][1,2]oxa-thiin-4-yl group, or

R_a and R_b, or R_c and R_d, together with the nitrogen to which they are attached, form an optionally substituted pyrrolidinyl, piperidinyl, morpholinyl or piperazinyl group, and

R_e is (C_{1-8})alkyl, (C_{1-4})alkoxy(C_{1-4})alkyl, (C_{3-7})cycloalkyl or (C_{3-7})cycloalkyl(C_{1-4})alkyl,

R_4 is hydrogen or an optionally substituted (C_{1-8})alkyl, (C_{1-4})alkoxy(C_{1-4})alkyl, (C_{3-7})cyclo-alkyl, (C_{3-7})cycloalkyl(C_{1-4})alkyl, (C_{2-6})alkenyl, (C_{2-6})alkynyl, (C_{3-7})cycloalkoxy(C_{1-4})alkyl or aryl group,

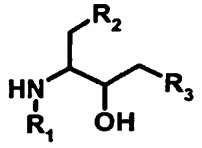
R_5 is hydrogen or optionally substituted (C_{1-4})alkyl,

R_6 is hydrogen, hydroxy or halogen, and

m and p, independently, are 1 or 2,

in free base form or in acid addition salt form.

2. A process for the preparation of a compound as defined in claim 1 of the formula I, in free base form or in acid addition salt form, comprising the steps of acylating a compound of the formula



II

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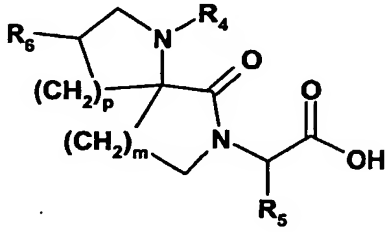
wherein R_1, R_2 and R_3 are as defined for the formula I, with an acid of the formula



III

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wherein R_4, R_5, R_6, m and p are as defined for the formula I, or an activated form, such as an ester or an acid halogenide, thereof and recovering the so obtainable compound of the formula I in free base form or in acid addition salt form.

3. A compound according to claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, for use as a pharmaceutical.

4. A compound according to claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, for use in the treatment of neurological or vascular disorders related to beta-amyloid generation and/or aggregation.

5. A pharmaceutical composition comprising a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, as active ingredient and a pharmaceutical carrier or diluent.

6. The use of a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, as a pharmaceutical for the treatment of neurological or vascular disorders related to beta-amyloid generation and/or aggregation.

7. The use of a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, for the manufacture of a medicament for the treatment of neurological or vascular disorders related to beta-amyloid generation and/or aggregation.

8. A method for the treatment of neurological or vascular disorders related to beta-amyloid generation and/or aggregation in a subject in need of such treatment, which comprises administering to such subject a therapeutically effective amount of a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form.

9. A combination comprising a therapeutically effective amount of a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, and a second drug substance, for simultaneous or sequential administration.

10. The use of a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, as histopathological labeling agent, imaging agent and/or biomarker for the selective labeling of the beta-secretase cleaving enzyme BACE.